

WEST Search History

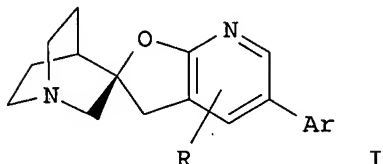
DATE: Wednesday, November 01, 2006

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END OF SEARCH HISTORY

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. (I) [Ar is selected from a 2-, or 3-linked thiophene, benzo[b]thiophene or benzo[c]thiophene substituted with 0, 1, 2 or 3 substituents independently selected at each occurrence from C1-4 alkyl, C1-4 alkoxy, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO₂R₁, COR₁, cyano, NO₂, (CH₂)_nNR₁R₂; n is 0, 1, or 2; R₁ and R₂ are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of $\alpha 7$ nicotinic receptor (no data). These compds. I are useful in the treatment or prophylaxis of human diseases or conditions in which activation of $\alpha 7$ nicotinic receptor identify beneficial, i.e. (1) psychotic disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the $\alpha 7$ nicotinic acetylcholine receptor.

AN 2003:837089 CAPLUS

DN 139:350723

TI Preparation of (2'R)-5'-thienylspiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine] derivatives as agonists of $\alpha 7$ nicotinic receptor

IN Chang, Hui-Fang; Li, Yan; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087103	A1	20031023	WO 2003-SE614	20030415
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PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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OS MARPAT 139:350723

IT 616875-54-8P 616875-55-9P 616875-56-0P
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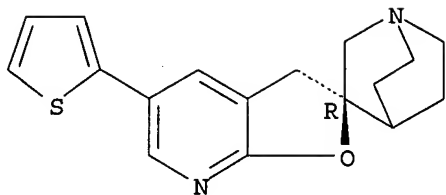
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(preparation of thienylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-b]pyridine]
 derivs. as agonists of $\alpha 7$ nicotinic receptor for treatment or
 prophylaxis of psychotic disorders or intellectual impairment
 disorders)

RN 616875-54-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
 5'-(2-thienyl)-, dihydrochloride, (2'R)-(9CI) (CA INDEX NAME)

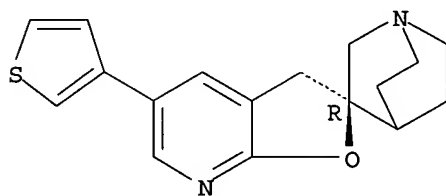
Absolute stereochemistry.



● 2 HCl

RN 616875-55-9 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-(3-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

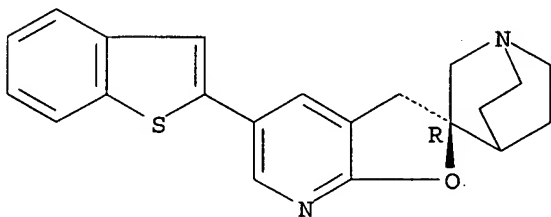
Absolute stereochemistry.



● 2 HCl

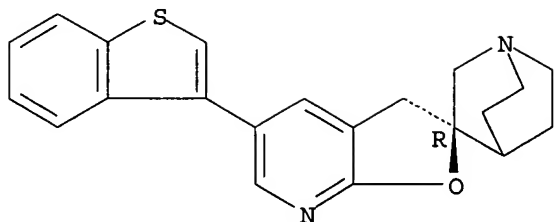
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CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-benzo[b]thien-2-yl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 616875-57-1 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-benzo[b]thien-3-yl-, (2'R)- (9CI) (CA INDEX NAME)

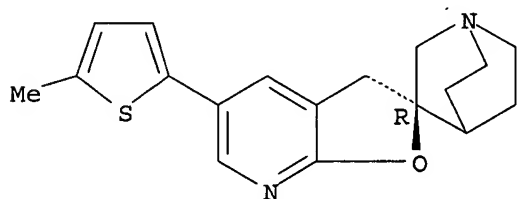
Absolute stereochemistry.



RN 616875-58-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-methyl-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

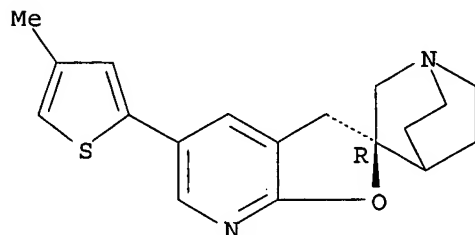
Absolute stereochemistry.



RN 616875-59-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(4-methyl-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

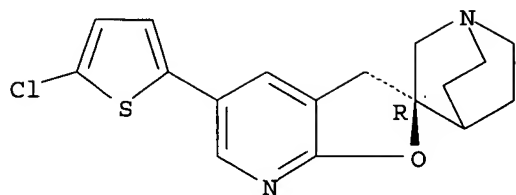
Absolute stereochemistry.



RN 616875-60-6 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-2-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

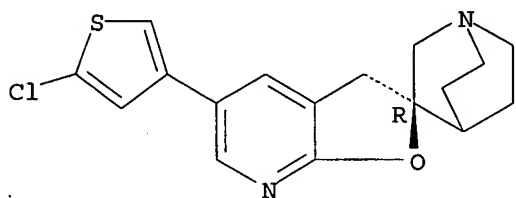
Absolute stereochemistry.



● 2 HCl

RN 616875-61-7 CAPLUS
 CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
 5'-(5-chloro-3-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

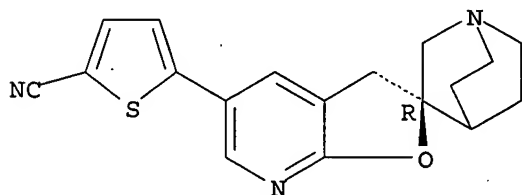
Absolute stereochemistry.



● 2 HCl

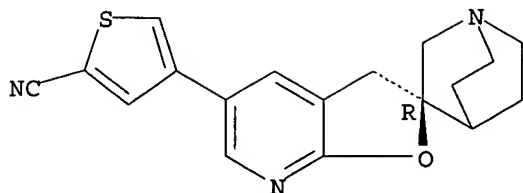
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 CN 2-Thiophenecarbonitrile, 5-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-
 furo[2,3-b]pyridin]-5'-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 616875-63-9 CAPLUS
 CN 2-Thiophenecarbonitrile, 4-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-
 furo[2,3-b]pyridin]-5'-yl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

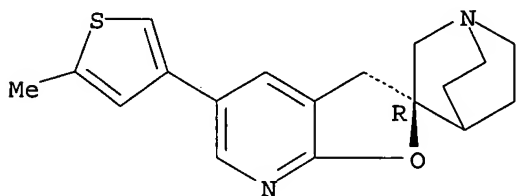


● 2 HCl

RN 616875-64-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-methyl-3-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

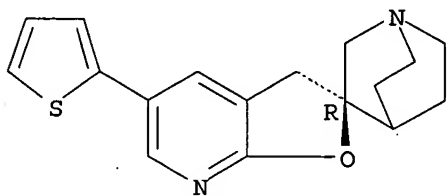
Absolute stereochemistry.



RN 616875-65-1 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

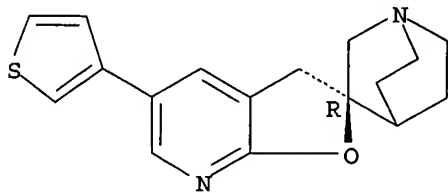
Absolute stereochemistry.



RN 616875-66-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(3-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

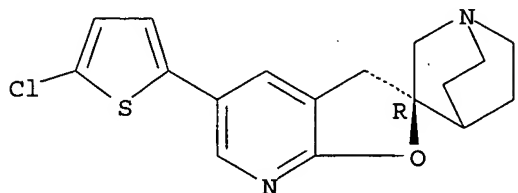
Absolute stereochemistry.



RN 616875-67-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

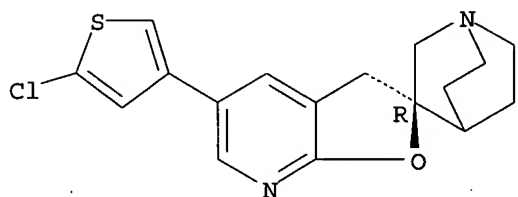
Absolute stereochemistry.



RN 616875-68-4 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

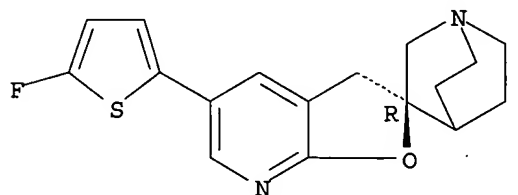
Absolute stereochemistry.



RN 616875-69-5 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-fluoro-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

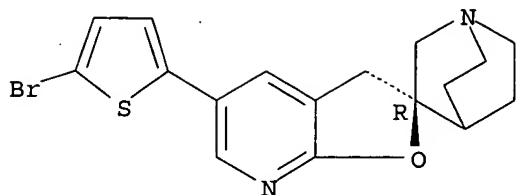
Absolute stereochemistry.



RN 616875-70-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-bromo-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

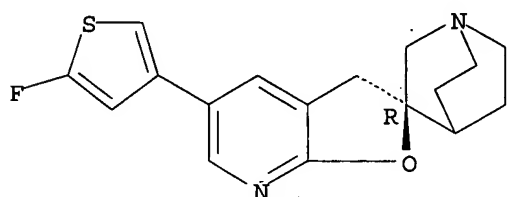
Absolute stereochemistry.



RN 616875-71-9 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-fluoro-3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

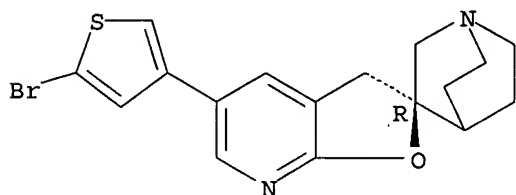
Absolute stereochemistry.



RN 616875-72-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-bromo-3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

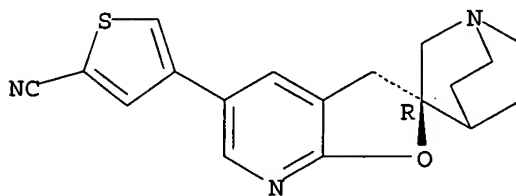
Absolute stereochemistry.



RN 616875-73-1 CAPLUS

CN 2-Thiophenecarbonitrile, 4-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-
furo[2,3-b]pyridin]-5'-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

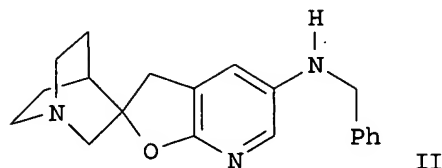


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

10511522

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB RNR1R2 [R = spiro[1-azabicyclo[2.2.2]octane-3,2']-(3'H)-furo[2,3-b]pyridine]-5- or -6-yl] [I; R1 = (hetero)aryl(alkyl), CH₂CH:CHR₃, CH₂C.tplbond.CR₃; R2 = H, alkyl, CHO, alkanoyl, alkoxy carbonyl, etc.; R3 = (hetero)aryl(alkyl)] were prepared. Thus, quinuclidin-3-one underwent methylene insertion with Me₃S(O)I and the N-BH₃-complexed epoxide condensed with 2-chloropyridine to give, in 3 addnl. steps, (S)- and (R)-RH the latter of which was converted in 3 addnl. steps to title compound (R)-II. Data for biol. activity of I were given.

AN 2000:493546 CAPLUS

DN 133:120318

TI Preparation of furopyridineamines as nicotinic receptor agonists

IN Loch, James, III; Mullen, George; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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OS MARPAT 133:120318

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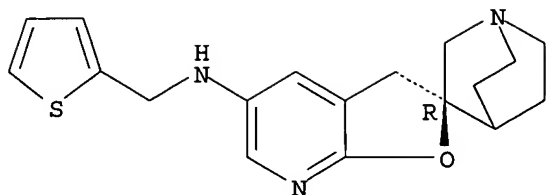
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of furopyridineamines as nicotinic receptor agonists)

RN 284486-13-1 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridin]-5'-amine,
N-(2-thienylmethyl)-, (2'R)- (9CI) (CA INDEX NAME)

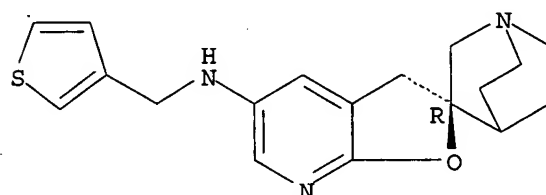
Absolute stereochemistry. Rotation (-).



RN 284486-23-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridin]-5'-amine,
N-(3-thienylmethyl)-, (2'R)- (9CI) (CA INDEX NAME)

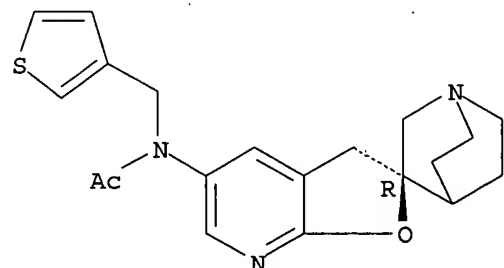
Absolute stereochemistry. Rotation (-).



RN 284486-39-1 CAPLUS

CN Acetamide, N-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridin]-5'-yl-N-(3-thienylmethyl)- (9CI) (CA INDEX NAME)

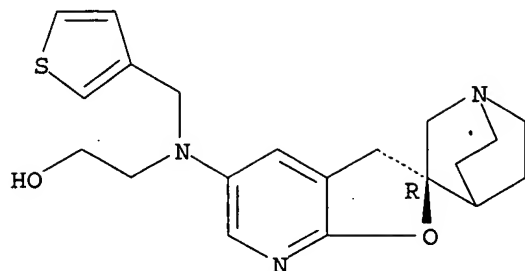
Absolute stereochemistry. Rotation (-).



RN 284486-42-6 CAPLUS

CN Ethanol, 2-[(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridin]-5'-yl(3-thienylmethyl)amino]- (9CI) (CA INDEX NAME)

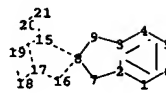
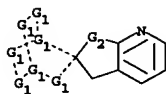
Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

Uploading C:\Program Files\Stnexp\Queries\10511522.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 8-15 8-16 10-11 10-14 11-12
12-13 13-14 15-19 15-21 16-17 17-18 17-20 18-19 20-21

exact/norm bonds :

2-7 3-9 7-8 8-9 8-15 8-16 10-11 10-14 11-12 12-13 13-14 15-19 15-21
16-17 17-18 17-20 18-19 20-21

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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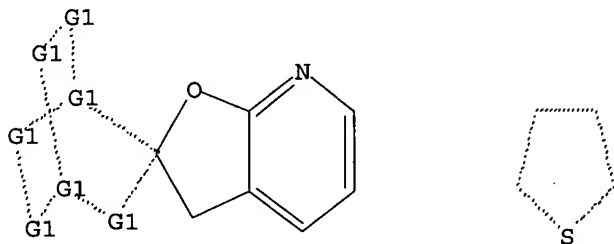
10511522

L5 STRUCTURE UPLOADED

=> d l5

L5 HAS NO ANSWERS

L5 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l5

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 10:58:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 9 TO 360

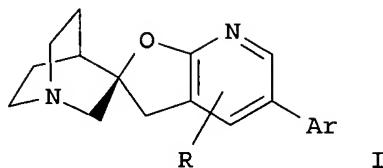
PROJECTED ANSWERS: 1 TO 80

L6 1 SEA SSS SAM L5

L7 1 L6

=> d abs bib hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. (I) [Ar is selected from a 2-, or 3-linked thiophene, benzo[b]thiophene or benzo[c]thiophene substituted with 0, 1, 2 or 3 substituents independently selected at each occurrence from C1-4 alkyl, C1-4 alkoxy, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO₂R₁, COR₁, cyano, NO₂, (CH₂)_nNR₁R₂; n is 0, 1, or 2; R₁ and R₂ are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of $\alpha 7$ nicotinic receptor (no data). These compds. I are useful in the treatment or prophylaxis of human diseases or conditions in which activation of $\alpha 7$ nicotinic receptor identify beneficial, i.e. (1) psychotic disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the $\alpha 7$ nicotinic acetylcholine receptor.

AN 2003:837089 CAPLUS

DN 139:350723

TI Preparation of (2'R)-5'-thienylspiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine] derivatives as agonists of $\alpha 7$ nicotinic receptor

IN Chang, Hui-Fang; Li, Yan; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

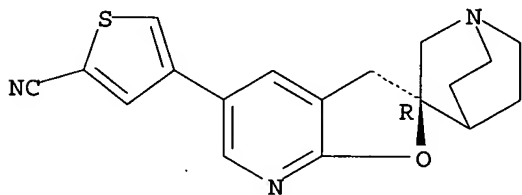
LA English

FAN.CNT 1

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	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
	PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,				
	TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2482312	AA	20031023	CA 2003-2482312	20030415

AU 2003224545 A1 20031027 AU 2003-224545 20030415
 EP 1499615 A1 20050126 EP 2003-721208 20030415
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 BR 2003009342 A 20050215 BR 2003-9342 20030415
 US 2005171106 A1 20050804 US 2003-511522 20030415
 JP 2005527588 T2 20050915 JP 2003-584059 20030415
 NO 2004004997 A 20050118 NO 2004-4997 20041117
 PRAI SE 2002-1187 A 20020418
 SE 2002-3608 A 20021204
 WO 2003-SE614 W 20030415
 OS MARPAT 139:350723
 IT 616875-73-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of thienylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-b]pyridine]
 derivs. as agonists of $\alpha 7$ nicotinic receptor for treatment or
 prophylaxis of psychotic disorders or intellectual impairment
 disorders)
 RN 616875-73-1 CAPLUS
 CN 2-Thiophenecarbonitrile, 4-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-
 furo[2,3-b]pyridin]-5'-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
6.03	188.44

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
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 DICTIONARY FILE UPDATES: 1 MAR 2006 HIGHEST RN 875609-25-9

10511522

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* The CA roles and document type information have been removed from *
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FULL SEARCH INITIATED 10:59:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 326 TO ITERATE

100.0% PROCESSED 326 ITERATIONS

24 ANSWERS

SEARCH TIME: 00.00.03

L8 24 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

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FULL ESTIMATED COST

166.94

355.38

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L9 2 L8

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SINCE FILE	TOTAL
ENTRY	SESSION
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PASSWORD:

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NEWS 5 DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6 DEC 14	CA/CAPLUS to be enhanced with updated IPC codes
NEWS 7 DEC 21	IPC search and display fields enhanced in CA/CAPLUS with the IPC reform
NEWS 8 DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2
NEWS 9 JAN 13	IPC 8 searching in IFIPAT, IFIUIDB, and IFICDB
NEWS 10 JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11 JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30	Saved answer limit increased
NEWS 14 JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS 15 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results

NEWS 16 FEB 22 Status of current WO (PCT) information on STN

NEWS 17 FEB 22 The IPC thesaurus added to additional patent databases on STN

NEWS 18 FEB 22 Updates in EPFULL; IPC 8 enhancements added

NEWS 19 FEB 27 New STN AnaVist pricing effective March 1, 2006

NEWS 20 FEB 28 MEDLINE/LMEDLINE reload improves functionality

NEWS 21 FEB 28 TOXCENTER reloaded with enhancements

NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
property data

NEWS 23 MAR 01 INSPEC reloaded and enhanced

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:22:51 ON 03 MAR 2006

=> file caplus

=> s pain and (alpha 7 nicotinic receptor)

42531 PAIN

990 PAINS

43214 PAIN

(PAIN OR PAINS)

1594023 ALPHA

2475 ALPHAS

1594124 ALPHA

(ALPHA OR ALPHAS)

2627269 7

35914 NICOTINIC

1 NICOTINICS

35915 NICOTINIC

(NICOTINIC OR NICOTINICS)

639778 RECEPTOR

586825 RECEPTORS

761496 RECEPTOR

(RECEPTOR OR RECEPTORS)

305 ALPHA 7 NICOTINIC RECEPTOR

(ALPHA (W) 7 (W) NICOTINIC (W) RECEPTOR)

L1 21 PAIN AND (ALPHA 7 NICOTINIC RECEPTOR)

=> d abs bib hitstr 1-10

L1 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

AB Background: A recent model of acute incisional pain has been characterized that strongly parallels the postoperative period in patients experiencing evoked pain. In that setting, abundant literature has revealed antihypersensitive effects produced by intrathecally administered α_2 -adrenergic receptor agonists, such as clonidine, in both animals and humans. Recent reports have suggested an obligatory role of spinal acetylcholine receptors in the analgesic action of intrathecal clonidine. The authors sought to determine the involvement of spinal muscarinic and nicotinic receptor subpopulations in the antihypersensitivity effect of intrathecal clonidine in a rodent model for human postoperative pain. Methods: After intrathecal catheterization, rats underwent superficial plantar incision. Clonidine or a combination of clonidine and muscarinic receptor subtype antagonists (M1, M2, M3, and M4) or nicotinic receptor subtype antagonists ($\alpha_4\beta_2$ and α_7) were intrathecally administered, and withdrawal thresholds to mech. stimuli were examined Results: Spinal clonidine maximally reduced hypersensitivity adjacent to the wound 30 min after its injection. When animals were intrathecally pretreated with the M1 muscarinic antagonist toxin MT-7, the M3 muscarinic antagonist 4-diphenylacetoxy-N-methylpiperidine, and the M4 muscarinic antagonist toxin MT-3, clonidine lost its antihypersensitive action. When animals were intrathecally pretreated with the $\alpha_4\beta_2$ nicotinic receptor antagonist dihydro- β -erythroidine, but not with the α_7 nicotinic receptor antagonist methyllycaconitine, the antihypersensitivity action of clonidine was abolished. Conclusions: These data indicate for the first time that the clonidine-induced increase in punctuate mech. threshold is mediated via the activation of all but M2 muscarinic receptor subtypes, and via the activation of $\alpha_4\beta_2$ but not α_7 nicotinic receptor subtypes in a rodent model for human postoperative pain.

AN 2005:1241528 CAPLUS

TI Spinal Muscarinic and Nicotinic Subtypes Activated by Clonidine in

Postincisional Pain

AU Duflo, Frederic; Boselli, Emmanuel; Ryvlin, Philippe; Chassard, Dominique
 CS Department of Anesthesiology and Intensive Care, Hopital de l'Hotel-Dieu,
 Lyon, Fr.

SO Anesthesiology (2005), 103(6), 1253-1258

CODEN: ANESAV; ISSN: 0003-3022

PB Lippincott Williams & Wilkins

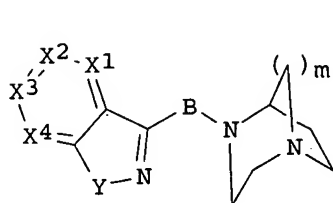
DT Journal

LA English

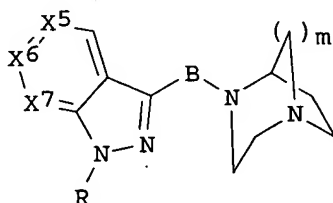
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

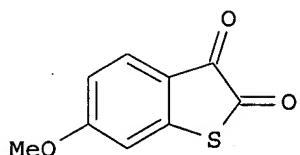
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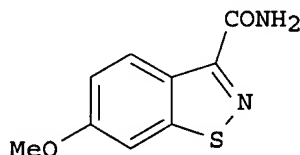
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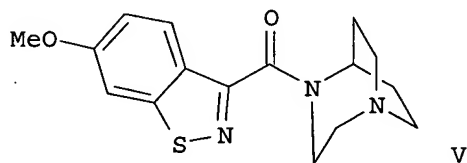
II



III



IV



V

AB The invention relates to heteroaryl-substituted azabicyclic compds., e.g., I or II, which are ligands for nicotinic acetylcholine receptors (nAChR) and can be used for the activation of nAChRs and the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of the brain. In compds. I and II, B is CH₂, C=O, or C=S; m is 1 or 2; Y is O or S; X₁ to X₄ are independently selected from N and (un)substituted C, wherein at most one of X₁ to X₄ is N; X₅ and X₆ are independently selected from CH, fluoro-substituted C₁-6 alkoxy-C, and heterocyclyl-C, wherein no more than one of X₅ and X₆ is CH; X₇ is CH or N; and R is H, (halo)-C₁-4 alkyl, C₃-7 cycloalkyl, C₄-7 cycloalkylalkyl, and C₁-6 alkyl-C₆-10 aryl. The invention also relates to

the preparation of the heteroaryl-substituted diazabicyclic compds., pharmaceutical compns. comprising those compds. and a pharmaceutically acceptable carrier, as well as to the use of the compns. as agonists for the $\alpha 7$ nAChR subtype. Acylation of 3-methoxythiophenol with oxalyl chloride followed by cyclization gave benzothiophenedione III, which underwent oxidative cleavage resulting in the formation of benzoisothiazolecarboxamide IV. Alkaline hydrolysis of IV to the carboxylic acid was followed by coupling with 1,4-diazabicyclo[3.2.2]nonane to give compound V. The preferred compds. of the invention express binding affinities of 5 nM to 2.5 μ M (no data).

AN 2005:1241229 CAPLUS

DN 144:6818

TI Indazoles, benzothiazoles, 1,2-benzisoxazoles, 1,2-benzisothiazoles, and chromones as $\alpha 7$ nicotinic receptor agonists, their preparation, pharmaceutical compositions, and use in therapy

IN Xie, Wenge; Herbert; Brian; Schumacher, Richard A.; Ma, Jianguo; Nguyen, Truc Minh; Gauss, Carla Maria; Tehim, Ashok

PA Memory Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 143 pp., which which

CODEN: PIXXD2

DT Patent

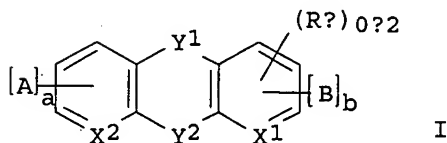
LA English

FAN.CNT 1

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PRAI	US 2004-568696P	P	20040507		
	US 2004-574712P	P	20040527		
	US 2004-626469P	P	20041110		
	US 2004-629469P	P	20041119		
OS	MARPAT 144:6818				

L1 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

GI



AB The title compds. I [A and B = H, halo, alkoxy, amino, etc.; X1, X2 = C, CH, N; provided that when one of X1 and X2 = N, the other = C or CH; Y1 = C(O), CH2, CH(OH), C(S), etc.; Y2 is a bond or Y2 = O, S, and N(R12); R12 = H, alkyl; Rx = H, halo, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; a = 0-1; b = 0-1; provided that when one of a and b = 0, the other = 1] and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by $\alpha 7$ nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had K_i values of from .apprx.1 nM to .apprx.10 μ M when tested by the [3H]-methyllycaconitine binding assay, many having a K_i of <1 μ M. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μ M. Preferred compds. typically exhibited greater potency at $\alpha 7$ receptors compared to $\alpha 4\beta 2$ receptors. Although the methods of preparation are not claimed, 67 example preps. are included. For example, 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

AN 2005:1132908 CAPLUS

DN 143:405799

TI Preparation of amino-substituted tricyclic derivatives as modulators of $\alpha 7$ nicotinic receptors and methods of use

IN Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; Li, Tao; Frost, Jennifer M.; Briggs, Clark A.; Bunnelle, William H.

PA USA

SO U.S. Pat. Appl. Publ., 90 pp.

CODEN: USXXCO

DT Patent

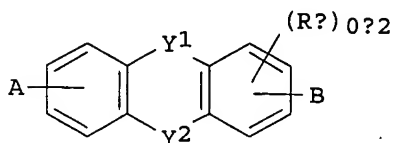
LA English

FAN.CNT 1

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PRAI	US 2004-541651P	P	20040204		

L1 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

GI



AB Amino-substituted tricyclic derivs. (shown as I; variables defined below; e.g. 2,7-Bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate (II)) and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by $\alpha 7$ nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had K_i values of from .apprx.1 nM to

.apprx.10 μ M when tested by the [3H]-methyllycaconitine binding assay, many having a K_i of $<1 \mu$ M. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μ M. Preferred compds. typically exhibited greater potency at $\alpha 7$ receptors compared to $\alpha 4\beta 2$ receptors. For I: A and B = H, halogen, alkoxy, amino, alkylamino, acylamino, dialkylamino, cyano, nitro, and -SO₃H, -C.tplbond.CCH₂NR₇R₈ and -O-[C(R₂₀)₂-3N(R₂₁)(R₂₂)], et al.; Y₁ = -C(O)-, -CH₂-, -CH(OH)-, -C(S)-, -N(R₁₁)-, -O-, -S-, -S(O)-, -S(O)₂-, -C(O)NH-, and -S(O)₂NH-; Y₂ is a bond or Y₂ = -O-, -S-, and -N(R₁₂)-; Rx = H, halogen, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, 22 example preps. are included. For example, II was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

AN 2005:698355 CAPLUS

DN 143:172757

TI Preparation of amino-substituted tricyclic derivatives as modulators of .
alpha.7 nicotinic receptors and
methods of useIN Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; Li, Tao; Pace,
Jennifer M.; Briggs, Clark A.

PA USA

SO U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005171079	A1	20050804	US 2004-772192	20040204
	WO 2005077899	A2	20050825	WO 2005-US3578	20050204
	WO 2005077899	A3	20051201		
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PRAI US 2004-772192 A 20040204

OS MARPAT 143:172757

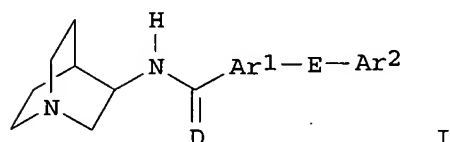
L1 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

AB The present invention relates generally to the field of ligands for nicotinic acetylcholine receptors (nAChR), activation of nAChRs, and the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of the brain. Further, this invention relates to novel compds. for example, indoles, 1H-indazoles, 1,2-benzisoxazoles, and 1,2-benzisothiazoles, which act as ligands for the $\alpha 7$ nAChR subtype, methods of preparing such compds., compns. containing such compds., and methods of use thereof.

AN 2005:612302 CAPLUS
 DN 143:133366
 TI Indoles, 1H-indazoles, 1,2-benzisoxazoles, and 1,2-benzisothiazoles, and preparation and uses thereof
 IN Xie, Wenge; Herbert, Brian; Ma, Jianguo; Nguyen, Truc Minh; Schumacher, Richard A.; Gauss, Carla-Maria; Tehim, Ashok
 PA Memory Pharmaceuticals Corporation, USA
 SO PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063767	A2	20050714	WO 2004-US42852	20041222
	WO 2005063767	A3	20050825		
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	US 2005176754	A1	20050811	US 2004-18429	20041222
PRAI	US 2003-530891P	P	20031222		
	US 2004-606897P	P	20040903		
OS	MARPAT 143:133366				

L1 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
 GI



AB Nicotine derivs. I [D = O, S; E = single bond, O, S, NR1; Ar1, Ar2 = (hetero)aryl; R1 = undefined] were prepared for treating conditions affected by the activation of .alpha.7 nicotinic receptors. These conditions include psychotic, neurol., and intellectual impairment disorders.

AN 2005:588959 CAPLUS
 DN 143:97559
 TI Preparation and use of nicotinic acetylcholine receptor ligands for treating neurological, psychotic and intellectual impairment disorders
 IN Ernst, Glen; Jacobs, Robert; Phillips, Eifion
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005061495	A1	20050707	WO 2004-SE1943	20041220
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

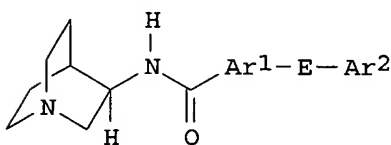
PRAI US 2003-531648P P 20031222

OS MARPAT 143:97559

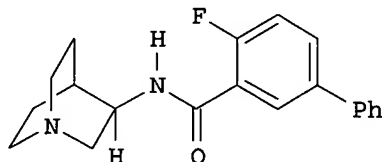
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

GI



I



II

AB Nicotine derivs. I [D = O, S; E = single bond, O, S, NR1; Ar1, Ar2 = (hetero)aryl; R1 = undefined] were prepared for treating conditions affected by the activation of .alpha.7 nicotinic receptors. These conditions include psychotic, neurol., and intellectual impairment disorders. As an example of the synthesis, 4-fluorobiphenyl-3-carboxylic acid reacted with (R)-(+)-3-aminoquinuclidine dihydrochloride to give the desired product II.

AN 2005:588957 CAPLUS

DN 143:97558

TI Preparation and use of nicotinic acetylcholine receptor ligands for treating neurological, psychotic and intellectual impairment disorders

IN Ernst, Glen; Jacobs, Robert; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005061494	A1	20050707	WO 2004-SE1940	20041220
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MR, NE, SN, TD, TG

PRAI US 2003-531712P P 20031222

OS MARPAT 143:97558

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

AB Although chronic nicotine produces dependence in mice, the role of specific nicotinic receptors has not been examined in knockout animals. The present study utilized .alpha.7 nicotinic receptor knockout mice to explore the role of this receptor subunit in nicotine dependence. Mice were chronically exposed to nicotine (0 or 200 µg/mL) in their drinking water and assayed for somatic withdrawal signs, hyperalgesia (tail-flick and hot-plate) and spontaneous activity following nicotine cessation. Nicotine withdrawal produced increased somatic signs in both strains and hyperalgesia in wild-type, but not in knockout animals. These results indicate that the .alpha.7 nicotinic receptor subunit may mediate some aspects of nicotine dependence.

AN 2005:465257 CAPLUS

DN 143:54880

TI Nicotine physical dependence in the mouse: Involvement of the .alpha.7 nicotinic receptor subtype

AU Grabus, Sheri D.; Martin, Billy R.; Imad Damaj, M.

CS Department of Pharmacology & Toxicology, Virginia Commonwealth University Medical Campus, Richmond, VA, 23298-0613, USA

SO European Journal of Pharmacology (2005), 515(1-3), 90-93
CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

AB We used the hot plate test and the formalin test to evaluate the antinociception of choline after i.c.v. or i.v. administration. The analgesic mechanism of choline was also studied. The response latency of mice was significantly prolonged in the hot plate test after choline (90-120 µg/animals) i.c.v. administration in a dose-dependent manner. Pretreatment with methyllycaconitine citrate (MLA), α -bungarotoxin, or atropine blocked the antinociception of choline in the hot plate test. In contrast, mecamylamine and naloxone had no effect. No antinociceptive action of choline was found in the hot plate test, but it did have an effect in the late phase of the formalin test after i.v. administration. The effect of choline on anti-inflammatory pain was blocked by MLA, but not by mecamylamine, naloxone and atropine, which is indicative of the involvement of α 7 receptors in peripheral sites. When choline (2 mg/kg) was coadministered with aspirin (9.4 mg/kg), the licking/biting times in the late phase significantly decreased, although no effects were shown when these doses of drugs were used alone. Similarly, coadministration of choline (2 mg/kg) with morphine (0.165

mg/kg) significantly increased the antinociception of morphine in the late phase, but had no effect in the early phase. These results demonstrate that activation of α_7 nicotinic receptors by choline elicits antinociceptive effects both in an acute thermal pain model and in an inflammatory pain model. Choline holds promise for development as a non-addictive analgesic drug and in reducing the regular dose of aspirin or morphine in inflammatory pain.

AN 2005:244433 CAPLUS

DN 142:329694

TI Antinociceptive effects of choline against acute and inflammatory pain

AU Wang, Y.; Su, D.-M.; Wang, R.-H.; Liu, Y.; Wang, H.

CS Thadweik Academy of Medicine, Beijing, 100850, Peop. Rep. China

SO Neuroscience (Oxford, United Kingdom) (2005), 132(1), 49-56

CODEN: NRSCDN; ISSN: 0306-4522

PB Elsevier Ltd.

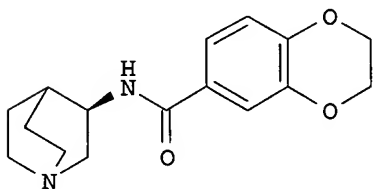
DT Journal

LA English

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

GI



AB The invention discloses preparation of quinuclidine-substituted benzodioxine carboxamide derivs., such as I.X [X = malate salt, including D- or L-(II)], or pharmaceutical composition, racemic mixture, or pure enantiomer thereof, to treat diseases or conditions in which α_7 nicotinic receptor is known to be involved.

Thus, reaction between 1,4-benzodioxane-6-carboxylic acid and 3(R)-aminoquinuclidine dihydrochloride yielded I, which on treatment with L-malic acid, afforded I.L-malate (III). The prepared benzodioxine carboxamide derivs. II are useful for the treatment of neurodegenerative diseases.

AN 2004:996175 CAPLUS

DN 141:411133

TI Preparation of quinuclidine substituted benzodioxine carboxamides for the treatment of neurodegenerative diseases

IN Selbo, John Gordon; Hawley, Michael; Jin, Qingwu; Walker, Daniel Patrick

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

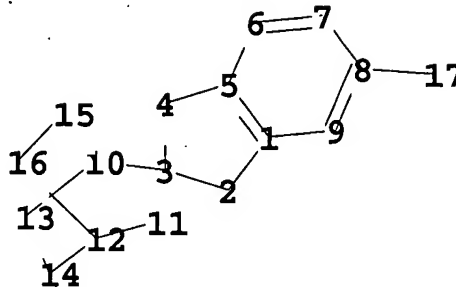
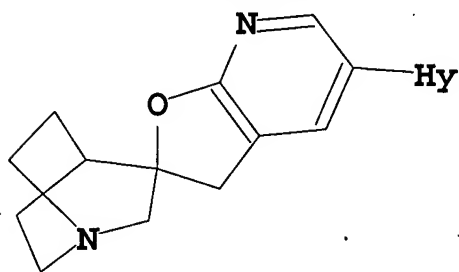
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          SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
          TD, TG
      US 2005059698      A1      20050317      US 2004-838596      20040504
PRAI US 2003-467898P      P      20030505
RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
          ALL CITATIONS AVAILABLE IN THE RE FORMAT

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chain nodes :

17

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

8-17

ring bonds :

1-2 1-5 1-9 2-3 3-4 3-10 3-11 4-5 5-6 6-7 7-8 8-9 10-13 10-15 11-12
12-14 12-16 13-14 15-16

exact/norm bonds :

1-2 2-3 3-4 3-10 3-11 4-5 8-17 10-13 10-15 11-12 12-14 12-16 13-14
15-16

normalized bonds :

1-5 1-9 5-6 6-7 7-8 8-9

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom

Element Count :

Node 17: Limited

S,S1

L20 STRUCTURE UPLOADED

=> s l20

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100.0% PROCESSED 6 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

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BATCH **COMPLETE**

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PROJECTED ANSWERS: 1 TO 80

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=> s l20 ful
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SEARCH TIME: 00.00.01

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE LAST UPDATED: 10 Aug 2006 (20060810/ED)

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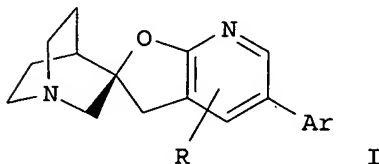
<http://www.cas.org/infopolicy.html>

=> s l22

L23 2 L22

=> d abs bib hitstr 1-2

L23 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. (I) [Ar is either a monocyclic 5-membered ring heterocycle or a bicyclic benzo-fused 5-membered ring heterocycle connected via the 5-membered ring, having, as part of the five membered ring, one ring nitrogen atom and either one ring oxygen atom or one ring sulfur atom, said monocyclic or fused bicyclic ring heterocycle being substituted with 0, 1, or 2 substituents selected from C1-4 alkyl, C1-4 alkoxy, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO₂R₁, COR₁, cyano, NO₂, (CH₂)_nNR₁R₂; n = 0-2; R₁ and R₂ are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of $\alpha 7$ nicotinic receptor (no data). These compds. I are useful in the treatment or prophylaxis of human diseases or conditions in which activation of $\alpha 7$ nicotinic receptor identify beneficial, i.e. (1) psychotic disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the $\alpha 7$ nicotinic acetylcholine receptor.

AN 2003:837090 CAPLUS <<LOGINID::20060811>>

DN 139:350726

TI Preparation of (2'R)-5'-heterocyclylspiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine] derivatives as agonists of $\alpha 7$ nicotinic receptor

IN Chang, Hui-Fang; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087104	A1	20031023	WO 2003-SE615	20030415
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	AU 2003224546	A1	20031027	AU 2003-224546	20030415
	EP 1499616	A1	20050126	EP 2003-721209	20030415
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	BR 2003009345	A	20050215	BR 2003-9345	20030415

US 2005131003	A1	20050616	US 2003-511525	20030415
CN 1662542	A	20050831	CN 2003-813901	20030415
JP 2005534624	T2	20051117	JP 2003-584060	20030415
ZA 2004008338	A	20051102	ZA 2004-8338	20041014
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PRAI SE 2002-1185	A	20020418		
SE 2002-3606	A	20021204		
WO 2003-SE615	W	20030415		

OS MARPAT 139:350726

IT 616876-21-2P 616876-22-3P 616876-23-4P
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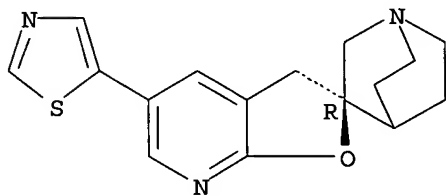
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of heterocyclylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-
 b]pyridine] derivs. as agonists of $\alpha 7$ nicotinic receptor for
 treatment or prophylaxis of psychotic disorders or intellectual
 impairment disorders)

RN 616876-21-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
 5'-(5-thiazolyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

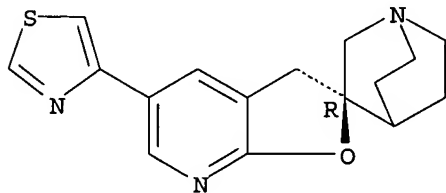


● 2 HCl

RN 616876-22-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
 5'-(4-thiazolyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

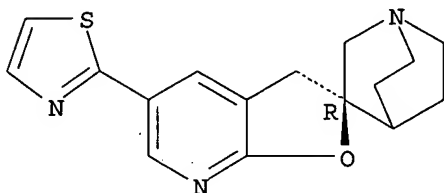
Absolute stereochemistry.



● 2 HCl

RN 616876-23-4 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-thiazolyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

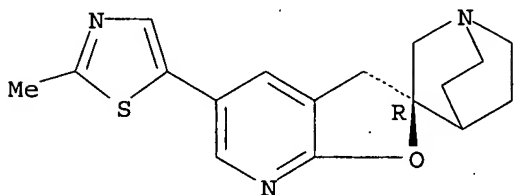
Absolute stereochemistry.



● 2 HCl

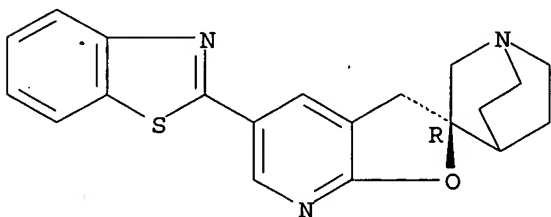
RN 616876-24-5 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-methyl-5-thiazolyl)-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



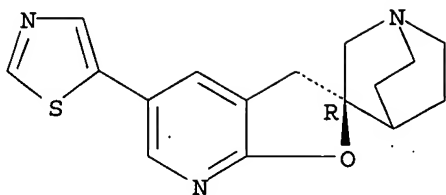
RN 616876-25-6 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-benzothiazolyl)-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 616876-29-0 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-thiazolyl)-, (2'R)- (9CI) (CA INDEX NAME)

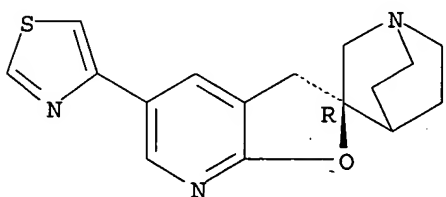
Absolute stereochemistry.



RN 616876-30-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(4-thiazolyl)-, (2'R)-(9CI) (CA INDEX NAME)

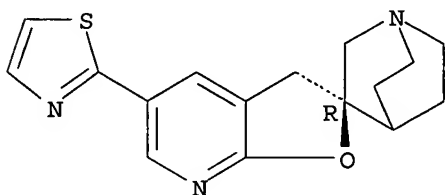
Absolute stereochemistry.



RN 616876-31-4 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-thiazolyl)-, (2'R)-(9CI) (CA INDEX NAME)

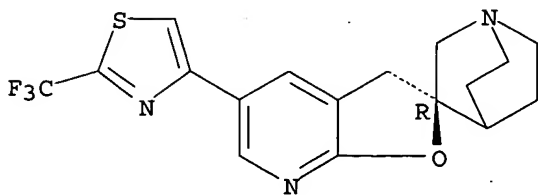
Absolute stereochemistry.



RN 616876-35-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-[2-(trifluoromethyl)-4-thiazolyl]-, (2'R)-(9CI) (CA INDEX NAME)

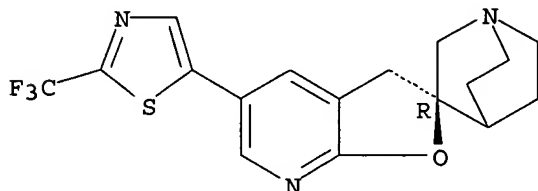
Absolute stereochemistry.



RN 616876-36-9 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-[2-(trifluoromethyl)-5-thiazolyl]-, (2'R)- (9CI) (CA INDEX NAME)

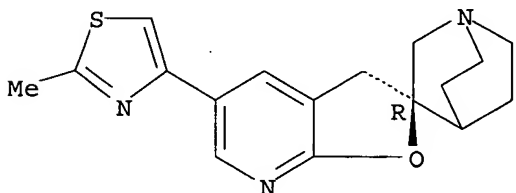
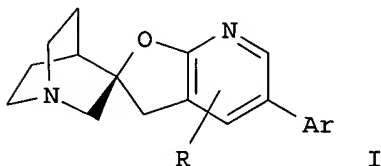
Absolute stereochemistry.



RN 616876-42-7 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-methyl-4-thiazolyl)-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMATL23 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
GI

AB The title compds. (I) [Ar is selected from a 2-, or 3-linked thiophene, benzo[b]thiophene or benzo[c]thiophene substituted with 0, 1, 2 or 3 substituents independently selected at each occurrence from C1-4 alkyl, C1-4 alkoxy, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO₂R₁, COR₁, cyano, NO₂, (CH₂)_nNR₁R₂; n is 0, 1, or 2; R₁ and R₂ are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of α₇ nicotinic receptor (no data). These compds. I are useful in the treatment or

prophylaxis of human diseases or conditions in which activation of $\alpha 7$ nicotinic receptor identify beneficial, i.e. (1) psychotic disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the $\alpha 7$ nicotinic acetylcholine receptor.

AN 2003:837089 CAPLUS <<LOGINID::20060811>>

DN 139:350723

TI Preparation of (2'R)-5'-thienylspiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine] derivatives as agonists of $\alpha 7$ nicotinic receptor

IN Chang, Hui-Fang; Li, Yan; Phillips, Eifion

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2003087103	A1	20031023	WO 2003-SE614	20030415
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	AU 2003224545	A1	20031027	AU 2003-224545	20030415
	EP 1499615	A1	20050126	EP 2003-721208	20030415
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	ZA 2004008339	A	20051103	ZA 2004-8339	20041014
	NO 2004004997	A	20050118	NO 2004-4997	20041117
PRAI	SE 2002-1187	A	20020418		
	SE 2002-3608	A	20021204		
	WO 2003-SE614	W	20030415		
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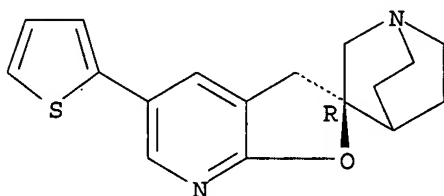
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-b]pyridine] derivs. as agonists of $\alpha 7$ nicotinic receptor for treatment or prophylaxis of psychotic disorders or intellectual impairment disorders)

RN 616875-54-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine], 5'-(2-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

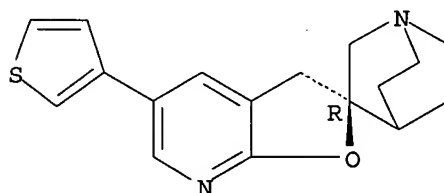


● 2 HCl

RN 616875-55-9 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine], 5'-(3-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

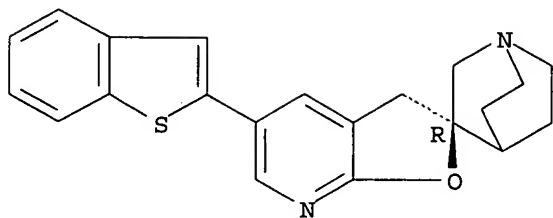


● 2 HCl

RN 616875-56-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine], 5'-benzo[b]thien-2-yl-, (2'R)- (9CI) (CA INDEX NAME)

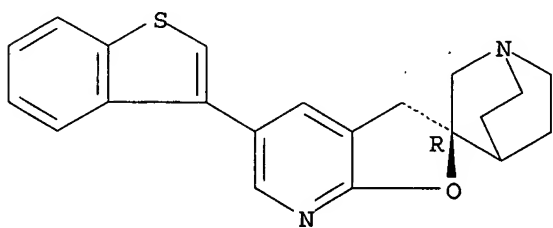
Absolute stereochemistry.



RN 616875-57-1 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-benzo[b]thien-3-yl-, (2'R)- (9CI) (CA INDEX NAME)

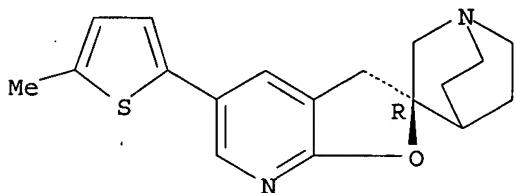
Absolute stereochemistry.



RN 616875-58-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-(5-methyl-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

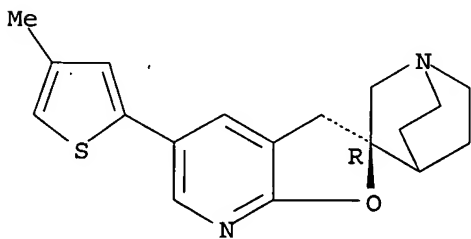
Absolute stereochemistry.



RN 616875-59-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2' (3'H)-furo[2,3-b]pyridine],
5'-(4-methyl-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

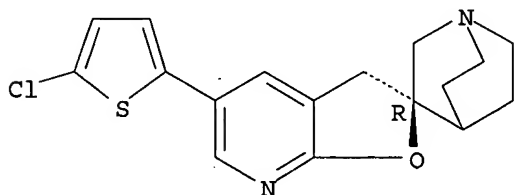
Absolute stereochemistry.



RN 616875-60-6 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-2-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

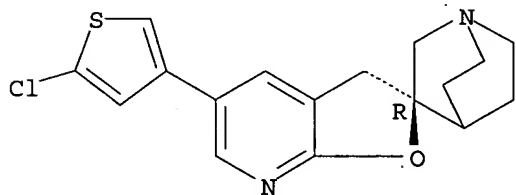


● 2 HCl

RN 616875-61-7 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-3-thienyl)-, dihydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

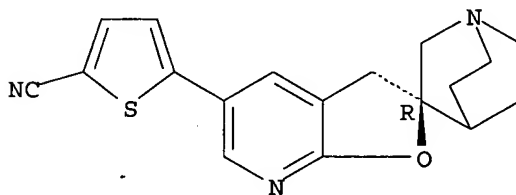


● 2 HCl

RN 616875-62-8 CAPLUS

CN 2-Thiophenecarbonitrile, 5-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-
furo[2,3-b]pyridin]-5'-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

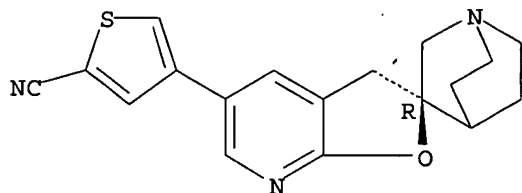


RN 616875-63-9 CAPLUS

CN 2-Thiophenecarbonitrile, 4-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-

furo[2,3-b]pyridin]-5'-yl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

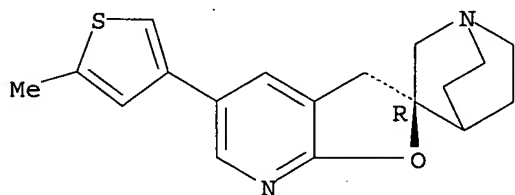


●2 HCl

RN 616875-64-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-methyl-3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

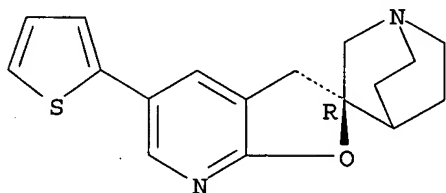
Absolute stereochemistry.



RN 616875-65-1 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

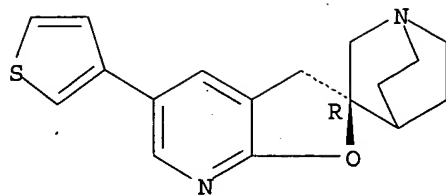
Absolute stereochemistry.



RN 616875-66-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

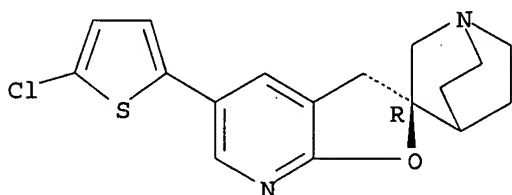
Absolute stereochemistry.



RN 616875-67-3 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

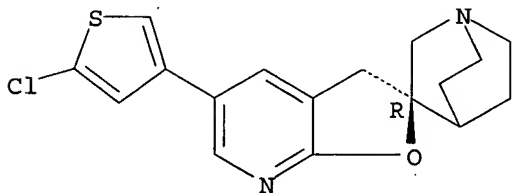
Absolute stereochemistry.



RN 616875-68-4 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-chloro-3-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

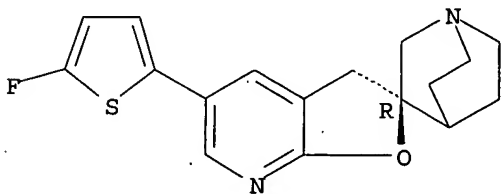
Absolute stereochemistry.



RN 616875-69-5 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-fluoro-2-thienyl)-, (2'R)- (9CI) (CA INDEX NAME)

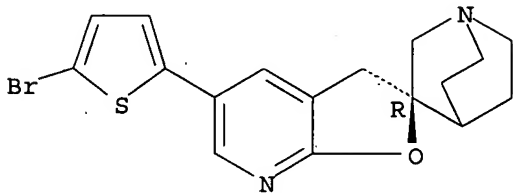
Absolute stereochemistry.



RN 616875-70-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-bromo-2-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

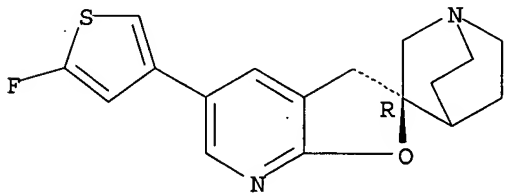
Absolute stereochemistry.



RN 616875-71-9 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-fluoro-3-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

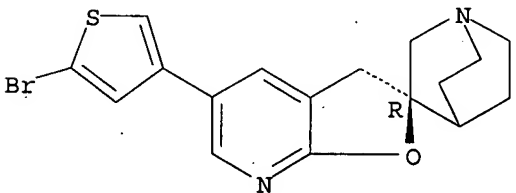
Absolute stereochemistry.



RN 616875-72-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],
5'-(5-bromo-3-thienyl)-, (2'R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 616875-73-1 CAPLUS

CN 2-Thiophenecarbonitrile, 4-(2'R)-spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-
furo[2,3-b]pyridin]-5'-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

